

WEST[Generate Collection](#)[Print](#)**Search Results - Record(s) 31 through 41 of 41 returned.**☐ 31. Document ID: US 5667764 A

L2: Entry 31 of 41

File: USPT

US-PAT-NO: 5667764

DOCUMENT-IDENTIFIER: US 5667764 A

TITLE: Compounds, compositions and methods for binding bio-affecting substances to surface membranes of bio-particles

DATE-ISSUED: September 16, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kopia; Gregory A.	Phoenixville	PA		
Horan; Paul K.	Downingtown	PA		
Gray; Brian D.	Ardmore	PA		
Troutner; David E.	Phoenixville	PA		
Muirhead; Katharine A.	West Chester	PA		
Sheth; Kamleshkumar A.	Downingtown	PA		
Lin; Chia-En	Norristown	PA		
Yu; Zhizhou	Jeffersonville	PA		
Jensen; Bruce D.	Collegeville	PA		
Slezak; Sue Ellen	Downingtown	PA		

US-CL-CURRENT: 424/1.45; 424/1.53, 424/1.65, 424/1.69, 424/1.73, 424/1.81, 424/1.85,
530/327, 536/21, 540/478, 548/121, 548/156, 548/159, 548/219, 548/455, 548/457,
548/458

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

[KIMC](#)☐ 32. Document ID: US 5665328 A

L2: Entry 32 of 41

File: USPT

US-PAT-NO: 5665328

DOCUMENT-IDENTIFIER: US 5665328 A

TITLE: Compounds, compositions and methods for binding bio-affecting substances to surface membranes of bio-particles

DATE-ISSUED: September 9, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Horan; Paul Karl	Downingtown	PA		
Slezak; Sue Ellen	Downingtown	PA		
Jensen; Bruce D.	Schwenksville	PA		

US-CL-CURRENT: 424/1.17; 424/1.11, 435/29, 435/35

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 33. Document ID: US 5565551 A

L2: Entry 33 of 41

File: USPT

US-PAT-NO: 5565551

DOCUMENT-IDENTIFIER: US 5565551 A

TITLE: Non-azo naphthalimide dyes and uses for same

DATE-ISSUED: October 15, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lewis; David E.	Brookings	SD		
Utecht; Ronald E.	Volga	SD		
Judy; Millard M.	Dallas	TX		
Matthews; J. Lester	Dallas	TX		

US-CL-CURRENT: 530/405; 530/402, 530/403, 530/409

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 34. Document ID: US 5556612 A

L2: Entry 34 of 41

File: USPT

US-PAT-NO: 5556612

DOCUMENT-IDENTIFIER: US 5556612 A

TITLE: Methods for phototherapeutic treatment of proliferative skin diseases

DATE-ISSUED: September 17, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anderson; R. Rox	Lexington	MA		
Hruza; Luciann	St. Louis	MO		
Kollias; Nikiforos	Belmont	MA		

US-CL-CURRENT: 424/59; 514/863

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMMC

☐ 35. Document ID: US 5420136 A

L2: Entry 35 of 41

File: USPT

US-PAT-NO: 5420136

DOCUMENT-IDENTIFIER: US 5420136 A

TITLE: Eradication of pathogenic biological contaminants using non-azo naphthalimide dyes

DATE-ISSUED: May 30, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lewis; David E.	Brookings	SD		
Utecht; Ronald E.	Volga	SD		
Judy; Millard M.	Dallas	TX		
Matthews; J. Lester	Dallas	TX		

US-CL-CURRENT: 514/296; 424/1.17, 424/1.33, 424/1.49, 424/1.65, 424/1.81, 514/284,
514/885, 540/467, 546/100, 546/13, 546/14, 546/22, 546/23, 546/76, 546/77, 546/88,
546/97, 546/98

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMMC

☐ 36. Document ID: US 5277913 A

L2: Entry 36 of 41

File: USPT

US-PAT-NO: 5277913

DOCUMENT-IDENTIFIER: US 5277913 A

TITLE: Liposomal delivery system with photoactivatable triggered release

DATE-ISSUED: January 11, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Thompson; David H.	Portland	OR	97229	
Anderson; Valerie C.	Lake Oswego	OR	97034	

US-CL-CURRENT: 424/450; 424/417, 428/402.2, 436/829

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMMC

☐ 37. Document ID: US 5235045 A

L2: Entry 37 of 41

File: USPT

US-PAT-NO: 5235045

DOCUMENT-IDENTIFIER: US 5235045 A

TITLE: Non-azo naphthalimide dyes

DATE-ISSUED: August 10, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lewis; David E.	Brookings	SD		
Utecht; Ronald E.	Volga	SD		
Judy; Millard M.	Dallas	TX		
Matthews; J. Lester	Dallas	TX		

US-CL-CURRENT: 534/560; 530/350, 536/1.11, 536/123.1, 536/22.1, 544/333, 546/100,
546/13, 546/14, 546/22, 546/23, 546/97, 546/98, 546/99

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 38. Document ID: US 5041078 A

L2: Entry 38 of 41

File: USPT

US-PAT-NO: 5041078

DOCUMENT-IDENTIFIER: US 5041078 A

TITLE: Photodynamic viral deactivation with sapphyrins

DATE-ISSUED: August 20, 1991

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Matthews; J. Lester	Dallas	TX		
Judy; Millard M.	Dallas	TX		
Newman; Joseph T.	Dallas	TX		
Sogandares-Bernal; Frank	Dallas	TX		
Sessler; Jonathan L.	Austin	TX		
Harriman; Anthony	Austin	TX		
Maiya; Bhaskar G.	Hyderabad			IN

US-CL-CURRENT: 604/6.08; 540/145

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 39. Document ID: JP 2001206885 A

L2: Entry 39 of 41

File: JPAB

Jul 31, 2001

PUB-NO: JP02001206885A
DOCUMENT-IDENTIFIER: JP 2001206885 A
TITLE: POLYMERIC MICELLE STRUCTURE

PUBN-DATE: July 31, 2001

INVENTOR-INFORMATION:

NAME	COUNTRY
AIDA, TAKUZO	
KO, TORIN	
ONO, ONORI	
STAPERT, H	
NISHIYAMA, NOBUHIRO	
KATAOKA, KAZUNORI	

INT-CL (IPC): C07 D 487/22; A61 K 31/409; A61 P 35/00; A61 P 43/00

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Clip Img	Image							

KWMC

☐ 40. Document ID: AU 200158095 A WO 200185212 A2

L2: Entry 40 of 41

File: DWPI

Nov 20, 2001

DERWENT-ACC-NO: 2002-139409

DERWENT-WEEK: 200219

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TITLE: Composition useful for conducting photodynamic therapy comprises a phtosensitizer and a block copolymer in liquid form, to form a complex with the photosensitizer

INVENTOR: CHOWDHARY, R K; DOLPHIN, D H

PRIORITY-DATA: 2000US-202641P (May 8, 2000)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
AU 200158095 A	November 20, 2001		000	A61K041/00
WO 200185212 A2	November 15, 2001	E	079	A61K041/00

INT-CL (IPC): A61 K 41/00

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KWMC

☐ 41. Document ID: WO 200031187 A1 AU 200019140 A BR 9915677 A EP 1133531 A1

L2: Entry 41 of 41

File: DWPI

Jun 2, 2000

DERWENT-ACC-NO: 2000-400050

DERWENT-WEEK: 200034

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TITLE: Detectably labeled markers used in hybridization and immuno- assays, to detect

target analytes in a sample, especially a biological fluid, such as serum, comprise luminescent, planar fluorophores

INVENTOR: DANDLIKER, W B; HSU, M L

PRIORITY-DATA: 1998US-109969P (November 25, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 200031187 A1	June 2, 2000	E	054	C09B047/04
AU 200019140 A	June 13, 2000		000	C09B047/04
BR 9915677 A	August 14, 2001		000	C09B047/04
EP 1133531 A1	September 19, 2001	E	000	C09B047/04

INT-CL (IPC): C09 B 47/04; G01 N 33/533; G01 N 33/58

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

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Terms	Documents
(photodynamic adj1 therapy) and micelle\$	41

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[Previous Page](#)

[Next Page](#)

WEST[Generate Collection](#)[Print](#)**Search Results - Record(s) 1 through 12 of 12 returned.**☐ 1. Document ID: US 6407135 B1

L3: Entry 1 of 12

File: USPT

US-PAT-NO: 6407135

DOCUMENT-IDENTIFIER: US 6407135 B1

TITLE: Conjugates of dithiocarbamates with pharmacologically active agents and uses therefor

DATE-ISSUED: June 18, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lai; Ching-San	Encinitas	CA		
Wang; Tingmin	San Marcos	CA		

US-CL-CURRENT: [514/423](#); [514/2](#), [514/514](#), [530/402](#), [548/565](#), [548/573](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 2. Document ID: US 6375930 B2

L3: Entry 2 of 12

File: USPT

US-PAT-NO: 6375930

DOCUMENT-IDENTIFIER: US 6375930 B2

TITLE: Membrane incorporation of texaphyrins

DATE-ISSUED: April 23, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; Stuart W.	Portola	CA		
Wright; Meredith	San Jose	CA		
Sessler; Jonathan L.	Austin	TX		
Mody; Tarak D.	Sunnyvale	CA		
Magda; Darren	Cupertino	CA		

US-CL-CURRENT: [424/9.362](#); [424/1.11](#), [424/1.65](#), [424/450](#), [424/9.1](#), [424/9.3](#), [540/1](#), [540/145](#), [544/1](#), [546/11](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 3. Document ID: US 6350431 B1

L3: Entry 3 of 12

File: USPT

US-PAT-NO: 6350431

DOCUMENT-IDENTIFIER: US 6350431 B1

TITLE: Compounds

DATE-ISSUED: February 26, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Snow; Robert Allen	West Chester	PA		
Henrichs; Paul Mark	Houston	TX		
Delecki; Daniel Joseph	Radnor	PA		
Sanderson; William Anthony	late of Wayne	PA		
Desai; Vinay Chandrakant	Phoenixville	PA		
Bacon; Edward	Audubon	PA		
Hollister; Kenneth Robert	Chester Springs	PA		
Hohenschuh; Eric Paul	Berwyn	PA		

US-CL-CURRENT: [424/9.6](#); [548/100](#), [548/120](#), [548/223](#), [549/402](#), [549/427](#), [549/455](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
Draw Desc	Image									

☐ 4. Document ID: US 6316502 B1

L3: Entry 4 of 12

File: USPT

US-PAT-NO: 6316502

DOCUMENT-IDENTIFIER: US 6316502 B1

TITLE: Therapeutic methods employing disulfide derivatives of dithiocarbonates and compositions useful therefor

DATE-ISSUED: November 13, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lai; Ching-San	Encinitas	CA		
Vassilev; Vassil	San Diego	CA		

US-CL-CURRENT: [514/599](#); [514/707](#), [514/825](#), [514/838](#), [514/851](#), [514/861](#), [514/866](#), [514/885](#), [514/903](#), [514/912](#), [514/925](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
Draw Desc	Image									

☐ 5. Document ID: US 6274627 B1

L3: Entry 5 of 12

File: USPT

US-PAT-NO: 6274627

DOCUMENT-IDENTIFIER: US 6274627 B1

TITLE: Conjugates of dithiocarbamate disulfides with pharmacologically active agents and uses therefor

DATE-ISSUED: August 14, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lai; Ching-San	Encinitas	CA		
Vassilev; Vassil P.	San Diego	CA		
Wang; Tingmin	San Marcos	CA		

US-CL-CURRENT: [514/599](#); [514/706](#), [514/707](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMC
Draw Desc	Image									

☐ 6. Document ID: US 6123923 A

L3: Entry 6 of 12

File: USPT

US-PAT-NO: 6123923

DOCUMENT-IDENTIFIER: US 6123923 A

TITLE: Optoacoustic contrast agents and methods for their use

DATE-ISSUED: September 26, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Unger; Evan C.	Tucson	AZ		
Wu; Yunqiu	Tucson	AZ		

US-CL-CURRENT: [424/9.52](#); [424/450](#), [424/9.1](#), [424/9.2](#), [424/9.3](#), [424/9.6](#), [514/410](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMC
Draw Desc	Image									

☐ 7. Document ID: US 6093743 A

L3: Entry 7 of 12

File: USPT

US-PAT-NO: 6093743

DOCUMENT-IDENTIFIER: US 6093743 A

TITLE: Therapeutic methods employing disulfide derivatives of dithiocarbamates and compositions useful therefor

DATE-ISSUED: July 25, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lai; Ching-San	Encinitas	CA		
Vassilev; Vassil	San Diego	CA		

US-CL-CURRENT: 514/599; 514/706, 514/707, 514/851, 514/861, 514/863, 514/866,
514/909, 514/912

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 8. Document ID: US 5916910 A

L3: Entry 8 of 12

File: USPT

US-PAT-NO: 5916910

DOCUMENT-IDENTIFIER: US 5916910 A

TITLE: Conjugates of dithiocarbamates with pharmacologically active agents and uses therefore

DATE-ISSUED: June 29, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Lai; Ching-San	Encinitas	CA		

US-CL-CURRENT: 514/423; 514/514, 548/564, 548/573, 558/235

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 9. Document ID: US 5775339 A

L3: Entry 9 of 12

File: USPT

US-PAT-NO: 5775339

DOCUMENT-IDENTIFIER: US 5775339 A

TITLE: Photodynamic therapy of pigment-related lesions

DATE-ISSUED: July 7, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Woodburn; Kathryn W.	Sunnyvale	CA		
Fan; Qing	Sunnyvale	CA		
Young; Stuart W.	Portola Valley	CA		

US-CL-CURRENT: 128/898; 607/88, 607/901

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

K00C

☐ 10. Document ID: US 5667764 A

L3: Entry 10 of 12

File: USPT

US-PAT-NO: 5667764

DOCUMENT-IDENTIFIER: US 5667764 A

TITLE: Compounds, compositions and methods for binding bio-affecting substances to surface membranes of bio-particles

DATE-ISSUED: September 16, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Kopia; Gregory A.	Phoenixville	PA		
Horan; Paul K.	Downingtown	PA		
Gray; Brian D.	Ardmore	PA		
Troutner; David E.	Phoenixville	PA		
Muirhead; Katharine A.	West Chester	PA		
Sheth; Kamleshkumar A.	Downingtown	PA		
Lin; Chia-En	Norristown	PA		
Yu; Zhizhou	Jeffersonville	PA		
Jensen; Bruce D.	Collegeville	PA		
Slezak; Sue Ellen	Downingtown	PA		

US-CL-CURRENT: 424/1.45, 424/1.53, 424/1.65, 424/1.69, 424/1.73, 424/1.81, 424/1.85,
530/327, 536/21, 540/478, 548/121, 548/156, 548/159, 548/219, 548/455, 548/457,
548/458

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

K00C

☐ 11. Document ID: US 5665328 A

L3: Entry 11 of 12

File: USPT

US-PAT-NO: 5665328

DOCUMENT-IDENTIFIER: US 5665328 A

TITLE: Compounds, compositions and methods for binding bio-affecting substances to surface membranes of bio-particles

DATE-ISSUED: September 9, 1997

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Horan; Paul Karl	Downingtown	PA		
Slezak; Sue Ellen	Downingtown	PA		
Jensen; Bruce D.	Schwenksville	PA		

US-CL-CURRENT: [424/1.17](#); [424/1.11](#), [435/29](#), [435/35](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

K00C

☐ 12. Document ID: US 5041078 A

L3: Entry 12 of 12

File: USPT

US-PAT-NO: 5041078

DOCUMENT-IDENTIFIER: US 5041078 A

TITLE: Photodynamic viral deactivation with sapphyrins

DATE-ISSUED: August 20, 1991

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Matthews; J. Lester	Dallas	TX		
Judy; Millard M.	Dallas	TX		
Newman; Joseph T.	Dallas	TX		
Sogandares-Bernal; Frank	Dallas	TX		
Sessler; Jonathan L.	Austin	TX		
Harriman; Anthony	Austin	TX		
Maiya; Bhaskar G.	Hyderabad			IN

US-CL-CURRENT: [604/6.08](#); [540/145](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

K00C

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Terms	Documents
L2 and (lactose or trehalose or sucrose)	12

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WEST[Generate Collection](#)[Print](#)**Search Results - Record(s) 1 through 1 of 1 returned.**☐ 1. Document ID: JP 2001206885 A

L1: Entry 1 of 1

File: JPAB

Jul 31, 2001

PUB-NO: JP02001206885A

DOCUMENT-IDENTIFIER: JP 2001206885 A

TITLE: POLYMERIC MICELLE STRUCTURE

PUBN-DATE: July 31, 2001

INVENTOR-INFORMATION:

NAME

COUNTRY

AIDA, TAKUZO

KO, TORIN

ONO, ONORI

STAPERT, H

NISHIYAMA, NOBUHIRO

KATAOKA, KAZUNORI

INT-CL (IPC): C07 D 487/22; A61 K 31/409; A61 P 35/00; A61 P 43/00

Full	Title	CIT.1	REV.1	CLS.1	REF.1	SEQ.1	ATT.1
RAW.1	EP.1						

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Terms	Documents
(photodynamic adj1 therapy) same micelle\$	1

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L2: Entry 26 of 41

File: USPT

DOCUMENT-IDENTIFIER: US 5885557 A

TITLE: Compositions useful in the phototherapeutic treatment of proliferative skin disorders

Brief Summary Text (12):

Proliferative skin diseases, such as psoriasis, eczema, mycosis fungoides, actinic keratosis, and lichen planus, are known to effect one to two percent of the U.S. population, with as many as 150,000-260,000 new cases occurring annually ("Research Needs in 11 Major Areas in Dermatology" I. Psoriasis. J. Invest. Dermatol. 73:402-13, 1979). One method used to treat the rapid proliferation of skin cells is phototherapy, which utilizes optical absorption of ultraviolet (UV) radiation by the skin to kill rapidly growing cells and arrest proliferation. At present, both UVA and UVB therapy, which expose the skin to UV radiation between 320-400 nm (UVA radiation) or 290-320 nm (UVB radiation), are effective and widely used. PUVA therapy, a form of photochemotherapy which involves repeated topical application of psoralen or a psoralen-based compound to an affected region of skin, followed by exposure of that region to UVA radiation, is also widely used. Another method used to treat proliferative skin diseases, particularly psoriasis and mycosis fungoides, is photodynamic therapy (PDT). In this method, a photosensitizing agent, which is a drug selectively retained in carcinoma cells, is administered to a patient. Following absorption of light (typically between 320-700 nm, depending on the drug) the photosensitizing agent undergoes a photochemical reaction, resulting in the production of cytotoxic singlet oxygen which eventually leads to tumor vessel destruction in the skin (Anderson, et al., Arch. Dermatol. 128:1631-1636, 1992).

Brief Summary Text (51):

Additionally, a photosensitizing agent such as psoralen or a psoralen-based compound may be administered to a patient and used in combination with a topically-applied sunscreen. The compounds may be administered in one of the traditional modes (e.g., orally, parenterally, transdermally or transmucosally), in a sustained-release formulation using a biodegradable, biocompatible polymer, or by on-site delivery using micelles, gels and liposomes. Once administered, a sufficient time period is allowed to pass in order for the compound to be selectively retained in affected skin regions. Preferably, the compound is administered so that the ratio of drug retained in the affected and non-affected regions is maximized at approximately the same time that the ratio of the amount of sunscreen covering these regions is minimized. This allows for efficient treatment of the affected regions of skin using PDT.

Other Reference Publication (3):

Lui et al., "Photodynamic Therapy in Dermatology", arch Dermatol. 128:1631-1636 (1992).

Other Reference Publication (4):

McCullough et al., "Low Dose Photofrin Photodynamic Therapy of Psoriasis", Clin. Res. 39:509A (1991).

WEST

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Print

L2: Entry 23 of 41

File: USPT

DOCUMENT-IDENTIFIER: US 5939453 A

TITLE: PEG-POE, PEG-POE-PEG, and POE-PEG-POE block copolymers

Abstract Text (1):

PEG-POE, PEG-POE-PEG, and POE-PEG-POE block copolymers have both hydrophilic and hydrophobic blocks. They form micelles in aqueous solution, making them suitable for encapsulation or solubilization of hydrophobic or water-insoluble materials; and they also form bioerodible matrices for the sustained release of active agents, especially when the POE block(s) contain at least one unit containing an .alpha.-hydroxy acid.

Brief Summary Text (8):

An alternate approach has been described. In this approach, an AB or ABA block copolymer is prepared where the B-block is hydrophobic and the A-block is hydrophilic. When such a material is placed in water, it will self-assemble into micelles with a hydrophobic core and a hydrophilic shell surrounding the core. Such micelles have a diameter of about 100 nm, which is large enough that when they are injected intravenously, the micelles can not leave the normal vasculature, but they are small enough to leave the vasculature within tumors. Further, a 100 nm diameter is too small to be recognized by the reticuloendothelial system, thus enhancing micelle lifetime within the blood stream. Additionally, when the hydrophilic block is poly(ethylene glycol), further enhancement of circulation time is noted, as has been observed with "stealth" liposomes. The use of block copolymer micelles is reviewed in G. S. Kwon et al., "Block copolymer micelles as long-circulating drug delivery vehicles", Adv. Drug Delivery Rev., 16, 295-309 (1995).

Brief Summary Text (9):

Sakurai et al., U.S. Pat. Nos. 5,412,072 and 5,693,751, and Yokoyama et al., U.S. Pat. Nos. 5,449,513 and 5,510,103, describe block copolymers useful as micellar delivery systems where the hydrophilic block is poly(ethylene glycol) and the hydrophobic blocks are various derivatives of poly(aspartic acid), poly(glutamic acid) and polylysine. U.S. Pat. Nos. 5,412,072 and 5,693,751 describe an approach where drugs have been chemically attached to the hydrophobic segment; while U.S. Pat. Nos. 5,449,513 and 5,510,103 describe an approach where hydrophobic drugs have been physically entrapped within the hydrophobic portion of the micelle. This latter approach is clearly preferable because no chemical modification of the drug is necessary.

Detailed Description Text (4):

"Active agent" includes any compound or mixture of compounds which produces a beneficial or useful result. Active agents are distinguishable from such components as vehicles, carriers, diluents, lubricants, binders and other formulating aids, and encapsulating or otherwise protective components. Examples of active agents are pharmaceutical, agricultural or cosmetic agents., Suitable pharmaceutical agents include antigens, antibodies, vaccines, hormones (for example, estrogens, progestins, androgens, adrenocortical steroids, insulin, erythropoietin and the like), vitamins, enzymes, proteins, naturally occurring or bioengineered substances, anti-infectives (including antibiotics, antivirals, fungicides, scabicides or pediculicides), antipsychotic agents (for example, phenothiazines including chlorpromazine, triflupromazine, mesoridazine, piperacetazine and thioridazine; thioxanthenes including chlorprothixene; and the like), anti-anxiety agents (for example, benzodiazepines including diazepam, alprazolam, clonazepam, oxazepam; and barbiturates), anti-depressants (including tricyclics, monoamine oxidase inhibitors,

serotonin reuptake inhibitors, and others, including imipramine, amitriptyline, doxepin, nortriptyline, amoxapine, tranylcypromine, phenelzine, and the like), stimulants (for example, methylphenidate, doxapram, nikethamide, and the like), narcotics (for example, morphine, meperidine, codeine, and the like), analgesic-antipyretics and anti-inflammatory agents (for example, aspirin, ibuprofen, naproxen, and the like), local anesthetics (for example, procaine, lidocaine, tetracaine, and the like), fertility control agents, anticancer agents (including the anthracycline antibiotics such as doxorubicin, daunorubicin, and epirubicin, mitomycin C, dactinomycin, tamoxifen, paclitaxel and its analogs such as docetaxol, platinum analogs such as cisplatin and carboplatin, anticancer proteins such as neocarzinostatin and L-asparaginase, photosensitizers for photodynamic therapy, alkylating agents such as cyclophosphamide, mechlorethamine, melphalan, chlorambucil, carmustine, and lomustine, antimetabolites such as methotrexate, alkaloids such as vinblastine, vincristine, and vindesine, 5-fluorouracil, thioguanine, streptozocin, bleomycin, and the like), cardiovascular and anti-hypertensive agents (for example, procainamide, amyl nitrite, nitroglycerin, propranolol, metoprolol, prazosin, phentolamine, trimethaphan, captopril, enalapril and the like), drugs for the therapy of pulmonary disorders, anti-epilepsy agents (for example, phenytoin, ethosuximide and the like), antipruritics, astringents, anti-hidrotics, keratolytic agents, keratoplastic agents, rubefacients, sunscreens, pigmentation agents or emollients. The term "active agents" further includes biocides such as fungicides, pesticides, and herbicides, plant growth promoters or inhibitors, preservatives, disinfectants, air purifiers and nutrients.

Detailed Description Text (68):

When such block copolymers are placed in water, in which the poly(ethylene glycol) block is soluble and the poly(orthoester) block is insoluble, the block copolymer chains will spontaneously self-aggregate to form micellar structures. The hydrodynamic diameter of such micelles, which may be determined by methods such as dynamic light scattering, will be in the order of 10-30 nm. As may be determined by methods such as static light scattering, such micelles will contain several hundred polymer chains. The micelles will undergo a secondary, reversible association, giving particles of an average diameter of about 100 nm. While such micelles are too large to be excreted by the kidneys, individual block copolymers are not. Further, since the poly(orthoester) segments can be made to be biodegradable, facile renal excretion will take place.

Detailed Description Text (69):

The major utility of such micellar systems resides in their ability to entrap and solubilize hydrophobic drugs in the hydrophobic core. Such entrapment is easily carried out in a number of ways. Thus, the drug can be added to the aqueous solution containing micelles and incorporated by simple stirring, by heating to moderate temperatures, or by ultrasonication. The micelles are efficient carriers for a variety of hydrophobic or insoluble active agents, and are particularly suitable as carriers for anticancer agents, which will accumulate in the tumor by an endocytotic process.

Detailed Description Text (71):

While any of the anticancer agents that can form micellar complexes are suitable for this use, anticancer agents that are particularly suitable for micellar tumor targeting are those with low water solubility or high aromatic content, such as the anthracycline antibiotics (e.g. doxorubicin, daunorubicin, and epirubicin), mitomycin C, paclitaxel and its analogs (e.g. docetaxol), platinum analogs (e.g. cisplatin and carboplatin), and the like. Other agents may include anticancer proteins, such as neocarzinostatin, L-asparaginase, and the like, and photosensitizers used in photodynamic therapy.

Other Reference Publication (3):

G.S. Kwon et al., "Block copolymer micelles as long-circulating drug vehicles", Adv. Drug Delivery Rev., 16, 295-309 (1995).